



## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<b>(51) International Patent Classification<sup>4</sup> :</b> <b>C07H 19/073, 19/173, A61K 31/70</b> <b>A61K 7/40, 7/42, 7/48</b> <b>A61K 7/26</b>	<b>A1</b>	<b>(11) International Publication Number:</b> <b>WO 89/ 03838</b> <b>(43) International Publication Date:</b> <b>5 May 1989 (05.05.89)</b>
<b>(21) International Application Number:</b> PCT/US88/03824 <b>(22) International Filing Date:</b> 27 October 1988 (27.10.88) <b>(31) Priority Application Number:</b> 115,923 <b>(32) Priority Date:</b> 28 October 1987 (28.10.87) <b>(33) Priority Country:</b> US <b>(60) Parent Application or Grant</b> <b>(63) Related by Continuation</b> US 115,923 (CIP) Filed on 28 October 1987 (28.10.87) <b>(71) Applicant (for all designated States except US):</b> PRO-NEURON, INC. [US/US]: 1530 East Jefferson Street, Rockville, MD 20852 (US).		<b>(72) Inventors; and</b> <b>(75) Inventors/Applicants (for US only):</b> VON BORSTEL, Reid, Warren [US/US]: 3115 University Blvd. West, Kensington, MD 20895 (US). BAMAT, Michael. Kevin [US/US]: 6516 Western Avenue, Chevy Chase, MD 20815 (US). <b>(74) Agent:</b> EVANS, Barry; Curtis, Morris & Safford, 530 Fifth Avenue, New York, NY 10036 (US). <b>(81) Designated States:</b> AT (European patent), AU, BE (European patent), BR, CH (European patent), DE (European patent), DK, FI, FR (European patent), GB (European patent), IT (European patent), JP, KR, LU (European patent), NL (European patent), NO, SE (European patent), SU, US.  <b>Published</b> <i>With international search report</i> <i>With amended claims</i>  <b>Date of publication of the amended claims:</b> 1 June 1989 (01.06.89)
<b>(54) Title:</b> ACYL DEOXYRIBONUCLEOSIDE DERIVATIVES AND USES THEREOF  <b>(57) Abstract</b>  The invention relates to compositions comprising acyl derivatives of 2'-deoxyribonucleosides. The invention also relates to methods of treating or preventing radiation, mutagen and sunlight-induced biological damage, and methods for improving wound healing and tissue repair, comprising administering the composition of the present invention to an animal.		

**FOR THE PURPOSES OF INFORMATION ONLY**

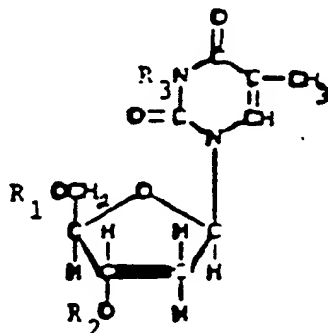
Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AT	Austria	FR	France	ML	Mali
AU	Australia	GA	Gabon	MR	Mauntania
BB	Barbados	GB	United Kingdom	MW	Malawi
BE	Belgium	HU	Hungary	NL	Netherlands
BG	Bulgaria	IT	Italy	NO	Norway
BJ	Benin	JP	Japan	RO	Romania
BR	Brazil	KP	Democratic People's Republic of Korea	SD	Sudan
CF	Central African Republic	KR	Republic of Korea	SE	Sweden
CG	Congo	LI	Liechtenstein	SN	Senegal
CH	Switzerland	LK	Sri Lanka	SU	Soviet Union
CM	Cameroon	LU	Luxembourg	TD	Chad
DE	Germany, Federal Republic of	MC	Monaco	TG	Togo
DK	Denmark	MG	Madagascar	US	United States of America
FI	Finland				

# AMENDED CLAIMS

[received by the International Bureau on 8 May 1989 (08.05.89)  
original claim 8 cancelled; claims 7 and 13 amended; other claims unchanged (3 pages)]

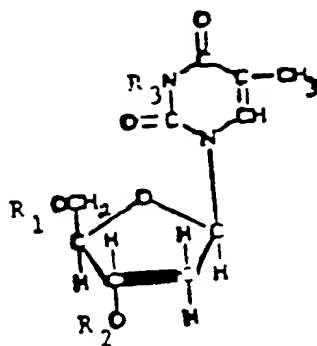
7. An acyl derivative of 2'-deoxythymidine,  
having the formula



wherein  $R_1$  is an acyl group derived from

- (a) an unbranched fatty acid with 18 to 22 carbon atoms,
  - (b) an amino acid selected from the group consisting of glycine, the L forms of alanine, valine, leucine, isoleucine, tyrosine, proline, hydroxyproline, serine, threonine, cysteine, aspartic acid, glutamic acid, arginine, lysine, histidine, carnitine, and ornithine,
  - (c) nicotinic acid, or
  - (d) a dicarboxylic acid having 3 to 22 carbon atoms,
- and  $R_2$  and  $R_3$  are H, or a pharmaceutically acceptable salt thereof.

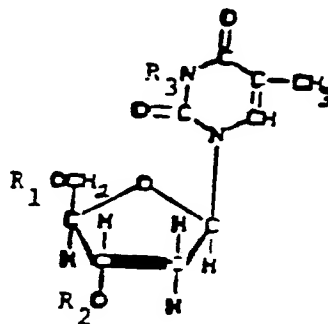
9. An acyl derivative of 2'-deoxythymidine,  
having the formula



and  $R_3$  is H or a pharmaceutically acceptable salt thereof.

12. An acyl derivative of 2'-deoxythymidine as recited in claim 11 wherein  $R_1$  and  $R_2$  are the same or different and each is an acyl group derived from an unbranched fatty acid with 6 to 16 carbon atoms.

13. An acyl derivative of 2'-deoxythymidine, having the formula



wherein  $R_1$  and  $R_2$  are the same or different and each is an acyl group derived from

- (a) an unbranched fatty acid with 2 to 22 carbon atoms,
- (b) an amino acid selected from the group consisting of glycine, the L forms of alanine, valine, leucine, isoleucine, tyrosine, proline, hydroxyproline, serine, threonine, cysteine, aspartic acid, glutamic acid, arginine, lysine, histidine, carnitine, and ornithine,
- (c) nicotinic acid, or
- (d) a dicarboxylic acid with 3 to 22 carbon atoms, provided that  $R_1$  and  $R_2$  are not both selected from set (a), and  $R_3$  is an acyl group derived from an optionally substituted benzoyl or heterocyclic carboxylic acid that is substantially nontoxic, or a pharmaceutically acceptable salt thereof.

14. An acyl derivative of 2'-deoxythymidine, as recited in claim 13 wherein  $R_1$  and  $R_2$  are the same or

different and each is an acyl group derived from an unbranched fatty acid with 6 to 16 carbon atoms and  $R_3$  is an acyl group derived from nicotinic acid, benzoic acid, or para-aminobenzoic acid.

5

10

15

20

25

30

35

**THIS PAGE BLANK (USPTO)**